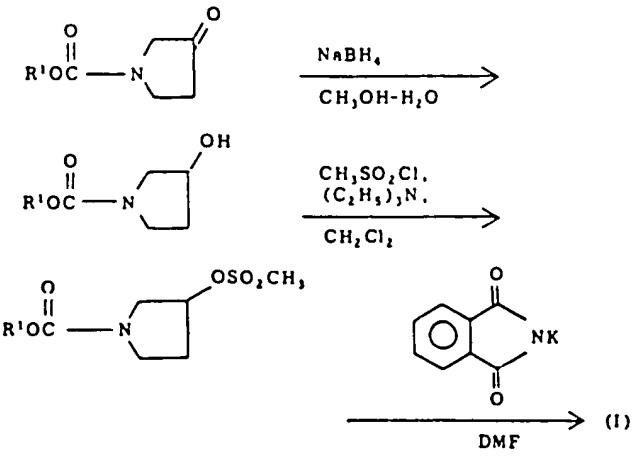
BL

STARTING MATERIALS



EXAMPLE

1-Ethoxycarbonyl-3-pyrrolidone (100 g) was dissolved in MeOH (300 ml) and a soln. of sodium borohydride (6.02 g) in H_2O (40 ml) was added dropwise at 0°C over 30 mins., then stirred for 15 mins. Conc. HCl (14.3 ml), satd. NaCl soln. (250 ml) and CH_2Cl_2 (300 ml) were added to the reaction mixt. The organic layer was fractionated, washed with satd. aq. NaCl soln. (100 ml), dried over anhydrous $MgSO_4$, and the solvent was distilled off under reduced press. to give 1-ethoxycarbonyl-3-hydroxypyrrolidine (100 g, 98.7% yield) as an oil.

Followed by prepn. of:
1-ethoxycarbonyl-3-mesyloxypyrrolidine;
1-ethoxycarbonyl-3-phthalimidopyrrolidine;
3-aminopyrrolidine.dihydrochloride; and finally
3-aminopyrrolidine (III).
(4ppW69WSDwgNo0/0).

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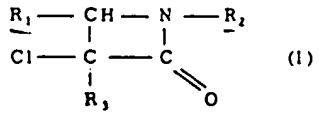
86-116676/18 B03 KANT- 29.08.84
KANTOH ISHI SEIYAKU *J6 1057-580-A
29.08.84-JP-180212 *(24.03.86)* A61k-31/39 C07d-205/08 C07d-235 C07d-403/04 C07d-405/04

New 2-azetidinone derivs. - with carcinostatic and antibacterial activity

C86-049841

2-Azetidinone derivs. of formula (I) are new:



(I)

R_1 = furyl or methoxyphenyl;
R_2 = benzimidazolyl, phenyl, methoxyphenyl, methoxycarbonylphenyl or ethoxycarbonylphenyl; and
R_3 = H, phenyl or chloro.

USE

(I) have excellent physiological activity as carcinostatic, immuno-controlling and antibacterial agents and are useful as pharmaceuticals.

B(6-D5, 7-D1, 12-A1, 12-D2, 12-G7) 5

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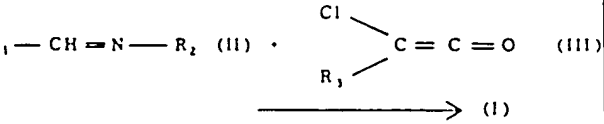
PREPARATION

(A)



(II) + (III) → (I)

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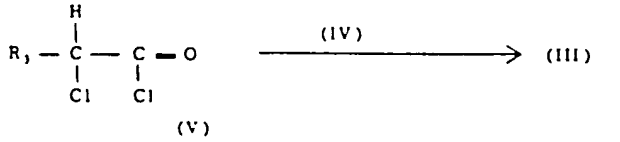
(III) is a reactive and unstable cpd. it is pref. prepd. in situ by treating an acetyl chloride deriv. of formula (V) with an organic amine (IV) (pref. 1-3C alkylamine).



(V) —(IV)→ (III)

J61057580-A

EXAMPLE

A soln. contg. chloroacetylchloride in anhydrous benzene (10 ml) was added dropwise to a soln. contg. (II: R_1 = furyl, R_2 = phenyl) (0.01 mol.) and Et_3N (1.52 g, 0.015 mol.) in anhydrous benzene (50 ml) at 5-10°C with stirring. The reaction mixt. was allowed to rise to room temp. and stirred for 2 hrs. The $Et_3N.HCl$ was removed and the solvent distilled off under reduced press. The residue was chromatographed (silica gel : eluent , hexane-EtOAc) (5 : 1 - 50 : 1)) to give (I: R_1 = 2-furyl, R_2 = phenyl, R_3 = H). (8ppW69WSDwgNo0/0).

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